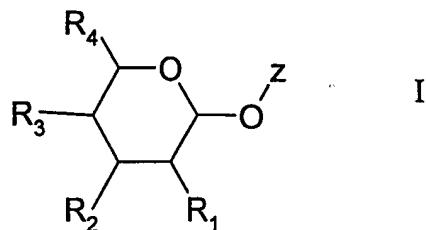


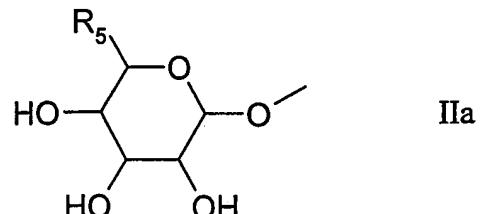
CLAIMS

1. A method of treatment of a condition associated with raised activity of the enzyme core 2 GlcNAc-T comprising administration of an effective amount of a compound of the formula I to a patient in need thereof.

5

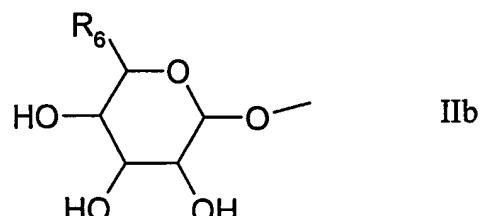


wherein R₁ is -OH, C₁₋₆ alkoxy, -NR₈R₉, or a monosaccharide of the formula IIa;



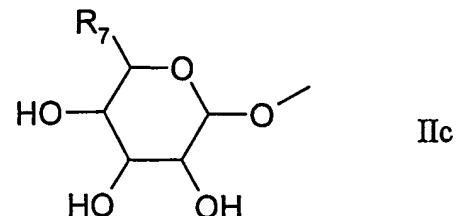
10

R₂ is -OH, C₁₋₆ alkoxy or a monosaccharide of the formula IIb:



15

R₃ is -OH, C₁₋₆ alkoxy or a monosaccharide of the formula IIc;



R₄ is C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl or C₁₋₆-alkoxy-C₁₋₆-alkyl;

R₅ is C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl or C₁₋₆-alkoxy-C₁₋₆-alkyl;

R₆ is C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl or C₁₋₆-alkoxy-C₁₋₆-alkyl;

R₇ is C₂₋₆ alkyl, C₁₋₆ hydroxyalkyl or C₁₋₆-alkoxy-C₁₋₆-alkyl;

R₈ is H, C₁₋₆ alkyl or C₁₋₆ acyl;

R₉ is H, C₁₋₆ alkyl or C₁₋₆ acyl; and

Z is a steroid group;

5 or a pharmaceutically acceptable salt, ester or tautomeric form or derivative thereof.

2. A method of treatment as described in claim 1 in which R₁ is a monosaccharide of the formula IIa.

10 3. A method of treatment as described in claim 2 in which R₅ is C₁₋₆ alkyl or C₁₋₆ hydroxyalkyl.

4. A method of treatment as described in claim 2 in which R₅ is -CH₃, -C₂H₅, -CH₂OH or -C₂H₄OH.

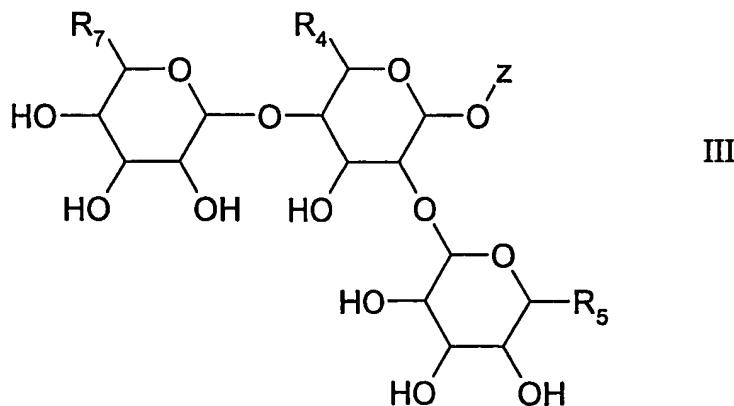
5. A method of treatment as described in claim 1 in which R₃ is a monosaccharide of the formula IIc.

15 6. A method of treatment as described in claim 5 in which R₇ is C₁₋₆ hydroxyalkyl or C₁₋₆-alkoxy-C₁₋₆-alkyl.

7. A method of treatment as described in claim 5 in which R₇ is -CH₂OH or C₁₋₆ alkoxymethyl.

8. A method of treatment as described in claim 5 in which R₇ is -CH₂OH.

20 9. A method of treatment as described in claim 1 in which the compound of the formula I is a compound of the formula III:



wherein:

25 R₄ is C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl or C₁₋₆-alkoxy-C₁₋₆-alkyl;

R₅ is C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl or C₁₋₆-alkoxy-C₁₋₆-alkyl; and

R₇ is C₂₋₆ alkyl, C₁₋₆ hydroxyalkyl or C₁₋₆-alkoxy-C₁₋₆-alkyl.

10. A method of treatment as described in claim 9 in which R₄ is C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl.

11. A method of treatment as described in claim 9 in which R₄ is -CH₂OH or -CH₃.

5 12. A method of treatment as described in claim 9 in which R₅ is C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl.

13. A method of treatment as described in claim 9 in which R₅ is -CH₃, -C₂H₅, -CH₂OH or -C₂H₄OH.

14. A method of treatment as described in claim 9 in which R₇ is C₁₋₆ hydroxyalkyl or C₁₋₆-alkoxy-C₁₋₆-alkyl.

10 15. A method of treatment as described in claim 9 in which R₇ is -CH₂OH or C₁₋₆ alkoxyethyl.

16. A method of treatment as described in claim 9 in which R₇ is -CH₂OH.

17. A method as described in claim 9 wherein compounds of the formula III
15 are compounds of the formula I wherein:

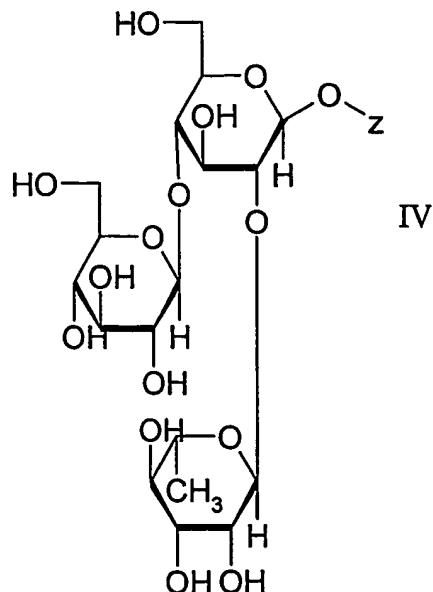
R₁ is rhamnose; .

R₂ is -OH; .

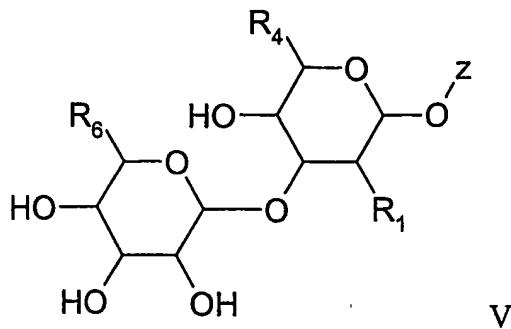
R₃ is glucose; and

R₄ is CH₂OH.

20 18. A method as described in claim 9 wherein compounds of the formula III
are compounds of the formula IV



19. A method as described in claim 1 in which the compound of the formula I is a compound of the formula V:



wherein:

5 R_1 is OH, C_{1-6} alkoxy or NR_8R_9 , or a monosaccharide of the formula IIa;

R_4 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6} -alkoxy- C_{1-6} -alkyl;

R_5 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6} -alkoxy- C_{1-6} alkyl;

R_6 is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or C_{1-6} -alkoxy- C_{1-6} -alkyl;

R_8 is H, C_{1-6} alkyl or C_{1-6} acyl;

10 R_9 is H, C_{1-6} alkyl or C_{1-6} acyl; and

Z is a steroid group.

20. A method as described in claim 19 in which R_1 is OH, or NR_8R_9 .

21. A method as described in claim 19 in which R_1 is NR_8R_9 ;

R_8 is H, C_{1-6} alkyl or C_{1-6} acyl; and

15 R_9 is H, C_{1-6} alkyl or C_{1-6} acyl.

22. A method as described in claim 19 in which R_1 is NR_8R_9 ;

R_8 is H; and

R_9 is H, C_{1-6} alkyl or C_{1-6} acyl.

23. A method as described in claim 19 in which R_1 is NR_8R_9

20 R_8 is H; and

R_9 is C_{1-6} acyl.

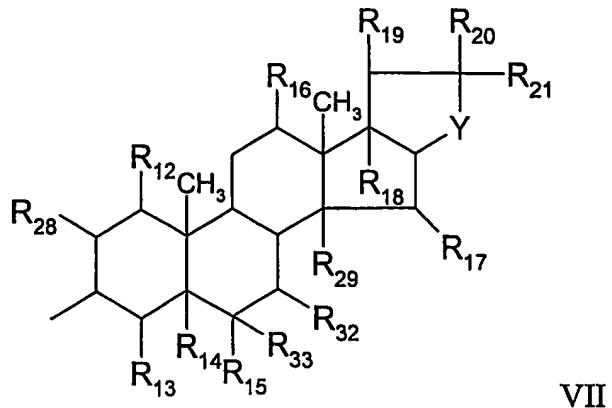
23. A method as described in claim 19 in which R_1 is NR_8R_9 ;

R_8 is H; and

R_9 is $-COCH_3$

25 24. A method as described in claim 19 in which the compound of formula IV is $Gal\beta 1\rightarrow 3(6\text{-deoxy})GalNAc\alpha\text{-}Z$.

25. A method according to claim 1 in which the steroid group is a group of the formula VII:



VII

wherein:

R₁₂ is H, -OH, C₁₋₆ alkyl or C₁₋₆ alkoxy;

R₁₃ is H, -OH, =O, or C₁₋₆ alkyl;

5 R₁₄ is H, -OH or C₁₋₆ alkyl or R₁₄ and R₃₃ taken together represent the second bond of a double bond joining adjacent carbon atoms;

R₁₅ is H, or -OH, or R₁₅ and R₃₃ taken together are =O;

R₁₆ is H, -OH or =O;

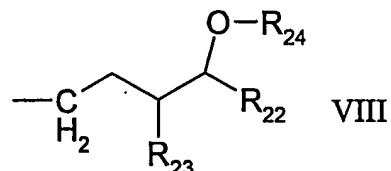
R₁₇ is H, -OH or =O;

10 R₁₈ is H, -OH, C₁₋₆ alkoxy or C₁₋₆ alkyl;

R₁₉ is H, -OH, C₁₋₆ alkyl or C₁₋₆ alkoxy;

R₂₀ is H, -OH, C₁₋₆ alkoxy or C₁₋₆ alkyl;

R₂₁ is H, -OH, C₁₋₆ alkyl, C₁₋₆ alkoxy or is a group of the formula VIII:



VIII

15

R₂₂ is H, -OH, C₁₋₆ alkyl or C₁₋₆ alkoxy;

R₂₃ is H, -OH, C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl, C₁₋₆-alkoxy-C₁₋₆-alkyl, =CH₂ or =CH-C₁₋₆-alkyl;

20 R₂₄ is H, C₁₋₆ alkyl, C₁₋₆ acyl or a monosaccharide MS;

R₂₈ and R₂₉ are the same or different and are H or -OH;

R₃₂ is H, -OH or =O;

R₃₃ is H, or R₃₃ and R₁₄ taken together are =O, or R₃₃ and R₁₄ taken together represent the second bond of a double bond joining adjacent carbon atoms; MS is

selected from a group consisting of rabinose, xylose, lyxose, ribose, glucose, mannose, galactose, allose, altrose, gulose, idose, talose, ribulose, xylulose, fructose, sorbose, tagatose, psicose, sedoheptulose, deoxyribose, fucose, rhamnose, 2-deoxy-glucose, quinovose, abequose, glucosamine, mannosamine, galactosamine, neurminic acid, muramic acid, N-acetyl-glucosamine, N-acetyl-mannosamine, N-acetyl-galactosamine, N-acetylneuraminic acid, N-acetylmuramic acid, O-acetylneuraminic acid, N-glycolylneuraminic acid, fructuronic acid, tagaturonic acid, glucuronic acid, mannuronic acid, galacturonic acid, iduronic acid, sialic acid and guluronic acid; and

5 Y is N or O;

10 26. A method according to claim 25 in which Y is O.

27 A method according to claim 25 in which R_{21} is a group of the formula VIII.

28 A method according to claim 27 in which R_{24} is C_{1-6} alkyl, C_{1-6} acyl or a monosaccharide MS.

15 29 A method according to claim 27 in which R_{24} is C_{1-6} acyl or a monosaccharide MS.

30. A method according to claim 27 in which R_{24} a monosaccharide MS

31. A method according to claim 28, 29 or 30 in which MS is selected from the group consisting of glucose, galactose, mannose, fucose, N-acetyl-glucosamine,

20 N-acetyl-galactosamine and sialic acid.

32 A method according to claim 28, 29 or 30 in which MS is glucose.

33 A method according to claim 27 in which R_{23} is C_{1-6} alkyl, C_{1-6} hydroxyalkyl, C_{1-6} -alkoxy- C_{1-6} -alkyl, $=CH_2$ or $=CH-C_{1-6}$ -alkyl.

34 A method according to claim 27 in which R_{23} is C_{1-6} alkyl, C_{1-6} hydroxyalkyl or $=CH_2$.

25 35 A method according to claim 27 in which R_{23} is $-C_2H_4OH$, $-CH_2OH$, C_{1-6} alkyl, or $=CH_2$.

36 A method according to claim 27 in which R_{23} is $-C_2H_4OH$, $-CH_2OH$, $-C_2H_5$, $-CH_3$ or $=CH_2$

30 37. A method according to claim 27 in which R_{23} is $-CH_3$.

38. A method according to claim 27 in which R_{23} is $=CH_2$.

39. A method of claim 27 in which R_{22} is H, $-OH$, or C_{1-6} alkoxy.

40. A method of claim 27 in which R_{22} is H.

41. A method of claim 25 in which R_{19} is H, $-OH$, or C_{1-6} alkyl;.

42. A method of claim 25 in which:

R₁₂ is H, -OH

R₁₃ is H or -OH;

R₁₄ is H, or -OH or R₁₄ and R₃₃ taken together represent the second bond of a

5 double bond joining adjacent carbon atoms;

R₁₅ is H, or R₁₅ and R₃₃ taken together are =O;

R₁₈ is H, -OH or C₁₋₆ alkoxy

R₁₉ is C₁₋₆ alkyl;

R₂₀ is H, -OH or C₁₋₆ alkoxy;

10 R₃₂ is H, -OH or =O; and

R₃₃ is H, or R₃₃ and R₁₅ taken together are =O, or R₃₃ and R₁₄ taken together represent the second bond of a double bond joining adjacent carbon atoms.

43. A method of claim 25 in which:

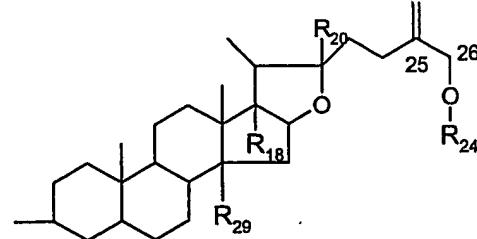
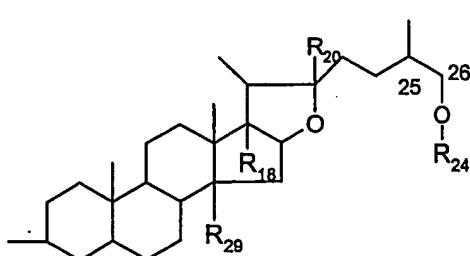
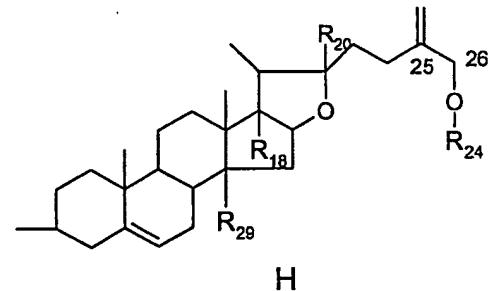
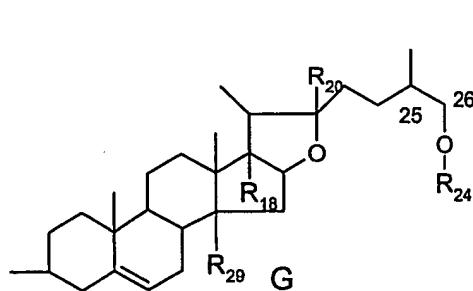
R₁₆ is H or =O;

15 R₁₇ is H or -OH;

R₁₈ is H or -OH; and

R₂₀ is -OH or C₁₋₆ alkoxy.

44 a method of claim 25 in which the steroid group is selected from a group consisting of:



wherein:

R₁₈ is H or -OH;

R₂₀ is -OH or C₁₋₆ alkoxy;

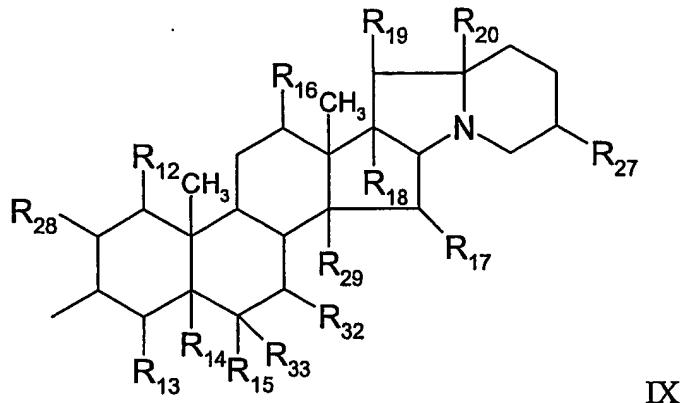
R₂₄ is glucose or C₁₋₆ acyl; and

R₂₉ is H or -OH.

45. A method of claim 1 in which the compound of the formula I is selected
5 from the group consisting of

trigoneoside IVa which is (3 β ,25S)-26-(β -D-glucopyranosyloxy)-22-hydroxyfurost-5-en-3-yl-O- α -L-rhamnopyranosyl-(1 \rightarrow 2)-O-[β -D-glucopyranosyl-(1 \rightarrow 4)]- β -D-glucopyranoside, glycoside F which is (3 β)-26-(β -D-glucopyranosyloxy)-22-hydroxyfurost-5-en-3-yl-O- α -L-rhamnopyranosyl-(1 \rightarrow 2)-O-[β -D-glucopyranosyl-(1 \rightarrow 4)]- β -D-glucopyranoside, shatavarin I, compound 3, pardarinoside C.

46. A method according to claim 1 in which the steroid group is a group of the formula VIII:



IX

15

wherein:

R₁₂ is H, -OH, C₁₋₆ alkyl or C₁₋₆ alkoxy;

R₁₃ is H, -OH, =O, or C₁₋₆ alkyl;

R₁₄ is H, -OH or C₁₋₆ alkyl or R₁₄ and R₃₃ taken together represent the second
20 bond of a double bond joining adjacent carbon atoms;

R₁₅ is H, or -OH, or R₁₅ and R₃₃ taken together are =O;

R₁₆ is H, -OH or =O;

R₁₇ is H, -OH or =O;

R₁₈ is H, -OH, C₁₋₆ alkoxy or C₁₋₆ alkyl;

R₁₉ is H, -OH, C₁₋₆ alkyl or C₁₋₆ alkoxy;

R₂₀ is H, -OH, C₁₋₆ alkoxy or C₁₋₆ alkyl;

R₂₇ is H, -OH, C₁₋₆ alkyl, C₁₋₆ alkoxy or C₁₋₆ hydroxyalkyl;

R_{28} and R_{29} are the same or different and are H or -OH;

R_{32} is H, -OH or =O; and

R_{33} is H, or R_{33} and R_{15} taken together are =O, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms.

5 47. A method of claim 46 in which R_{27} is H, C₁₋₆ alkyl, or C₁₋₆ alkoxy.

48. A method of claim 46 in which R_{27} is H, or C₁₋₆ alkyl.

49. A method of claim 46 in which R_{19} is H, -OH, or C₁₋₆ alkyl;

50. A method of claim 46 in which R_{20} is -OH or C₁₋₆ alkoxy.

51. A method of claim 46 in which

10 R_{12} is H or -OH

R_{13} is H or -OH;

R_{14} is H, or -OH or R_{14} and R_{33} taken together represent the second bond of a double bond joining adjacent carbon atoms;

R_{15} is H, or R_{15} and R_{33} taken together are =O;

15 R_{16} is H, -OH or =O;

R_{17} is H, -OH or =O;

R_{18} is H, -OH or C₁₋₆ alkoxy

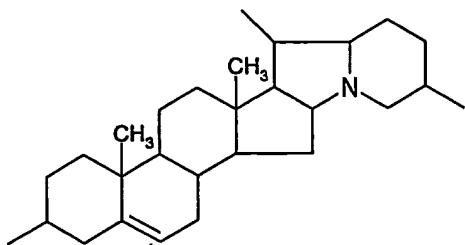
R_{19} is C₁₋₆ alkyl;

R_{32} is H, -OH or =O; and

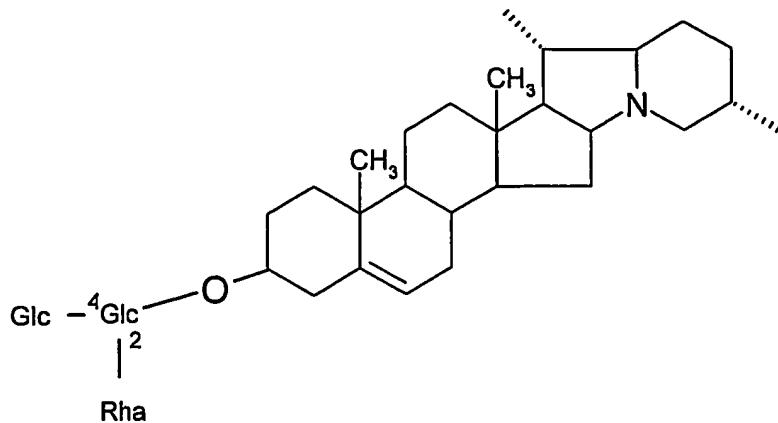
20 R_{33} is H, or R_{33} and R_{15} taken together are =O, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms.

52. A method of claim 46 in which the compound of the steroid group is a compound of the formula IXa

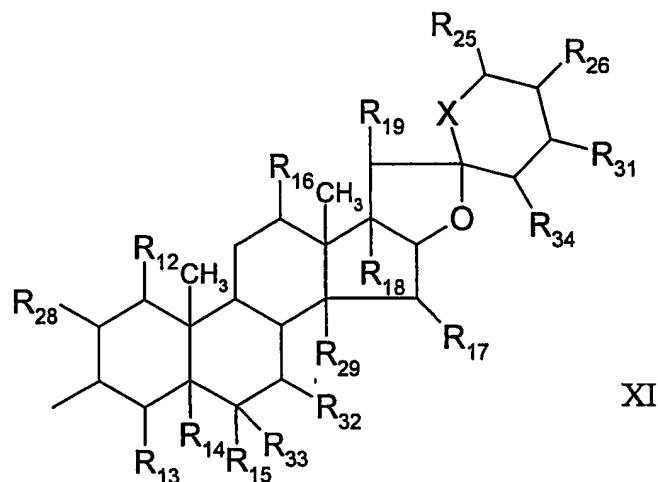
25



53. A method of claim 46 in which the compound of the formula I is a compound of the formula:



54. A method of claim 1 in which the steroid group is of the formula XI:



5

wherein:

R₁₂ is H, -OH, C₁₋₆ alkyl or C₁₋₆ alkoxy;

R₁₃ is H, -OH, =O, or C₁₋₆ alkyl;

R₁₄ is H, -OH or C₁₋₆ alkyl or R₁₄ and R₃₃ taken together represent the second bond of a double bond joining adjacent carbon atoms;

10

R₁₅ is H, or -OH, or R₁₅ and R₃₃ taken together are =O;

R₁₆ is H, -OH or =O;

R₁₇ is H, -OH or =O;

R₁₈ is H, -OH, C₁₋₆ alkoxy or C₁₋₆ alkyl;

R₁₉ is H, -OH, C₁₋₆ alkyl or C₁₋₆ alkoxy;

15

R₂₅ is H, -OH, C₁₋₆ alkyl or C₁₋₆ alkoxy;

R₂₆ is H, -OH, C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl, C₁₋₆-alkoxy-C₁₋₆-alkyl, =CH₂ or =CH-C₁₋₆-alkyl;

R₂₈ and R₂₉ are the same or different and are H or -OH;

R₃₁ is H or -OH;

R₃₂ is H, -OH or =O;

R₃₃ is H, or R₃₃ and R₁₅ taken together are =O, or R₃₃ and R₁₄ taken together represent the second bond of a double bond joining adjacent carbon atoms;

5 R₃₄ is H or -OH; and

X is O, S or NH.

55. A method of claim 54 in which X is O or NH;

56. A method of claim 54 in which X is O;

57. A method of claim 54 wherein R₂₆ is C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl, C₁₋₆-10 alkoxy-C₁₋₆-alkyl, =CH₂ or =CH-C₁₋₆-alkyl.

58. A method of claim 54 wherein R₂₆ is C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl or =CH₂.

59. A method of claim 54 wherein R₂₆ is -C₂H₄OH, -CH₂OH, C₁₋₆ alkyl, or =CH₂.

15 60. A method of claim 54 wherein R₂₆ is -C₂H₄OH, -CH₂OH, -C₂H₅, -CH₃ or =CH₂.

61. A method of claim 54 wherein R₂₆ is -CH₃ or =CH₂.

62. A method of claim 54 wherein R₁₉ is H, -OH, C₁₋₆ alkyl.

63. A method of claim 54 wherein R₁₉ is C₁₋₆ alkyl.

20 64. A method of claim 54 wherein:

R₁₂ is H, or -OH;

R₁₃ is H, or -OH;

R₁₄ is H or R₁₄ and R₃₃ taken together represent the second bond of a double bond joining adjacent carbon atoms;

25 R₁₅ is H, or R₁₅ and R₃₃ taken together are =O;

R₁₈ is H or -OH;

R₂₅ is H or -OH;

R₂₈ and R₂₉ are H;

R₃₁ is H or -OH;

30 R₃₃ is H, or R₃₃ and R₁₅ taken together are =O, or R₃₃ and R₁₄ taken together represent the second bond of a double bond joining adjacent carbon atoms; and

R₃₄ is H or -OH.

65. A method of claim 54 wherein:

R₁₅ is H;

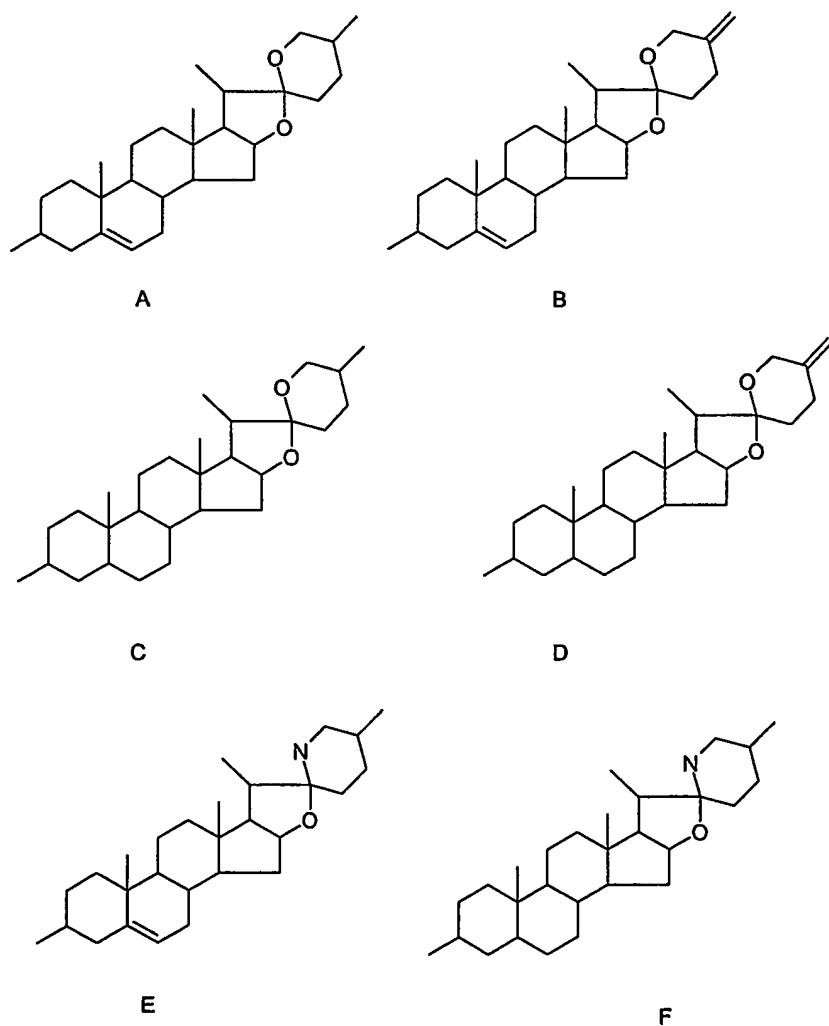
R_{16} is H or -OH;

R_{17} is H or -OH; .

R_{32} is H or -OH; and

R_{33} is H, or R_{33} and R_{14} taken together represent the second bond of a double bond joining adjacent carbon atoms.

66. A method of claim 54 in which the steroid group of the formula XI is selected from the group consisting of:



10

67. A method of claim 54 in which the steroid group of the formula XI is selected from the group consisting of diosgenin, yamogenin, tigogenin, neotigogenin, sarsasapogenin, smilagenin, hecogenin, solasodine or tomatidine.

68. A method of claim 1 in which the compounds of the formula I are selected
15 from the group consisting of:

Shatavarin IV which is sarsasapogenin 3-O- α -L-rhamnopyranosyl-(1 \rightarrow 2)-O-

[β -D-glucopyranosyl-(1 \rightarrow 4)]- β -D-glucopyranoside,

Compound 12 which is solasodine 3-O- α -L-rhamnopyranosyl-(1 \rightarrow 2)-O-[β -D-glucopyranosyl-(1 \rightarrow 4)]- β -D-glucopyranoside,

5 Deltonin which is (3 β ,25R)-spirost-5-en-3-yl-O- α -L-rhamnopyranosyl-(1 \rightarrow 2)-O-[β -D-glucopyranosyl-(1 \rightarrow 4)]- β -D-Glucopyranoside, and

Balanitin VI is (3 β ,25S)-spirost-5-en-3-yl-O- α -L-rhamnopyranosyl-(1 \rightarrow 2)-O-[β -D-glucopyranosyl-(1 \rightarrow 4)]- β -D-Glucopyranoside.

10 69. The method of claim 1 in which the condition is an inflammatory disease, asthma, rheumatoid arthritis, atherosclerosis, inflammatory bowel disease, diabetic cardiomyopathy, myocardial dysfunction, cancer, cancer metastasis or diabetic retinopathy.

15 70. The method of claim 1 in which the condition is leukaemia, oral cavity carcinomas, pulmonary cancers such as pulmonary adenocarcinoma, colorectal cancer, bladder carcinoma, liver tumours, stomach tumours colon tumours, prostate cancer, testicular tumour, mammary cancer, lung tumours oral cavity carcinomas and any cancers where core 2 GlcNAc-T expression is raised above normal levels for that tissue type.

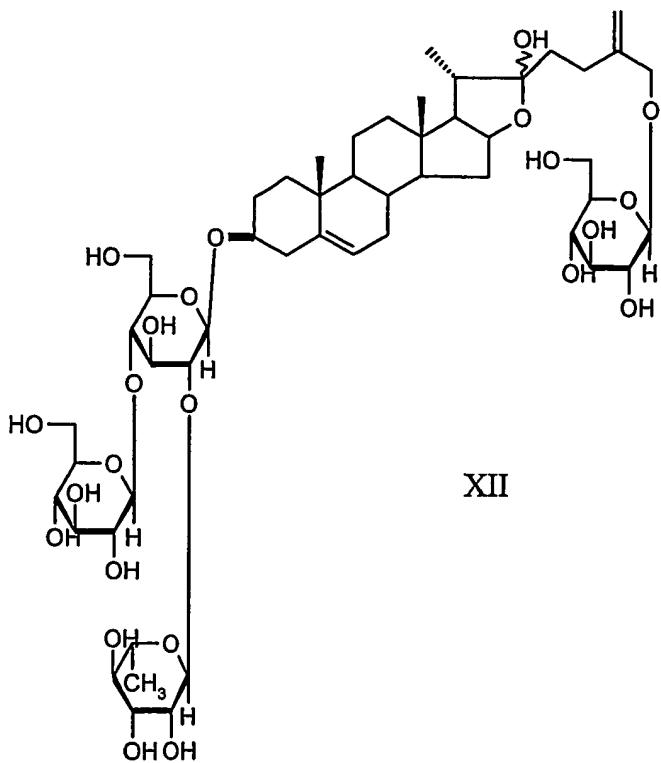
20 71. The use of a compound disclosed in the method of claims 1 to 69 in the manufacture of a medicament for the treatment of a condition associated with raised activity of the enzyme core 2 GlcNAc-T.

72. Use as described in claim 71 in which the condition is an inflammatory disease, asthma, rheumatoid arthritis, atherosclerosis inflammatory bowel disease, diabetic cardiomyopathy, myocardial dysfunction, cancer, cancer metastasis or diabetic retinopathy.

25 73. Use as described in claim 68 in which the condition is leukaemia, oral cavity carcinomas, pulmonary cancers such as pulmonary adenocarcinoma, colorectal cancer, bladder carcinoma, liver tumours, stomach tumours colon tumours, prostate cancer, testicular tumour, mammary cancer, lung tumours oral cavity carcinomas and any cancers where core 2 GlcNAc-T expression is raised above normal levels for that tissue type.

30 74. A pharmaceutical composition comprising a compound disclosed in the method of claims 1 to 69.

75. A compound of the formula:



76. Use of the compound of the formula XII as described in claim 75 in
5 therapy.